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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	DEC 21	IPC search and display fields enhanced in CA/CAplus with the IPC reform
NEWS	4	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS	5	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS	6	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS	7	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS	8	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS	9	JAN 30	Saved answer limit increased
NEWS	10	JAN 31	Monthly current-awareness alert (SDI) frequency added to TULSA
NEWS	11	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS	12	FEB 22	Status of current WO (PCT) information on STN
NEWS	13	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS	14	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS	15	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS	16	FEB 28	MEDLINE/LMEDLINE reload improves functionality
NEWS	17	FEB 28	TOXCENTER reloaded with enhancements
NEWS	18	FEB 28	REGISTRY/ZREGISTRY enhanced with more experimental spectral property data
NEWS	19	MAR 01	INSPEC reloaded and enhanced
NEWS	20	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS	21	MAR 08	X.25 communication option no longer available after June 2006
NEWS	22	MAR 22	EMBASE is now updated on a daily basis
NEWS	23	APR 03	New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS	24	APR 03	Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL
NEWS	25	APR 04	STN AnaVist $500 visualization usage credit offered

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:37:04 ON 06 APR 2006

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 09:37:13 ON 06 APR 2006
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 4 APR 2006 HIGHEST RN 879269-14-4
DICTIONARY FILE UPDATES: 4 APR 2006 HIGHEST RN 879269-14-4

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

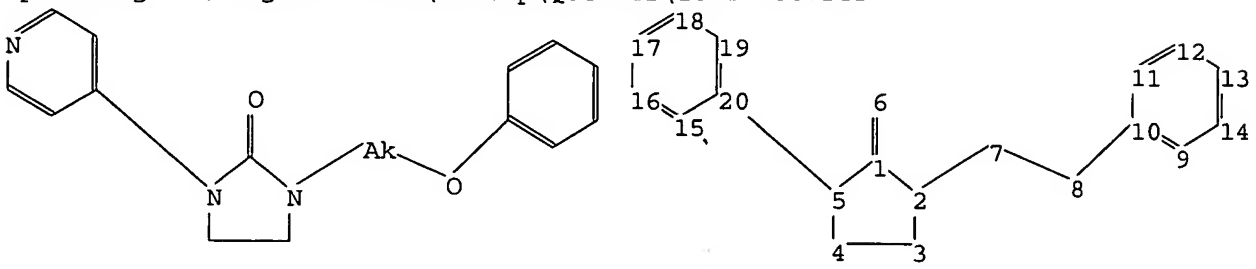
****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10717786.str

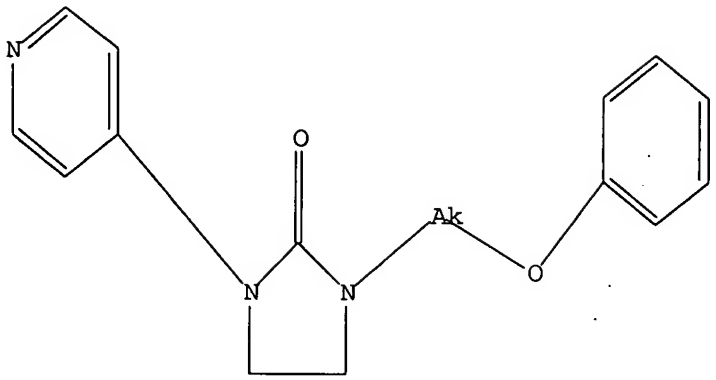


chain nodes :
6 7 8
ring nodes :
1 2 3 4 5 9 10 11 12 13 14 15 16 17 18 19 20
chain bonds :
1-6 2-7 5-20 7-8 8-10
ring bonds :
1-2 1-5 2-3 3-4 4-5 9-10 9-14 10-11 11-12 12-13 13-14 15-16 15-20
16-17 17-18 18-19 19-20
exact/norm bonds :
1-2 1-5 1-6 2-3 2-7 4-5 5-20 7-8 8-10
exact bonds :
3-4
normalized bonds :
9-10 9-14 10-11 11-12 12-13 13-14 15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 1 : 9 : 15 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 09:37:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      158 TO ITERATE

100.0% PROCESSED      158 ITERATIONS                                  7 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          2406 TO     3914
PROJECTED ANSWERS:                7 TO      298

L2             7 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 09:37:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     3528 TO ITERATE

100.0% PROCESSED     3528 ITERATIONS                                132 ANSWERS
SEARCH TIME: 00.00.01

L3           132 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     167.38     167.59

FILE 'HCAPLUS' ENTERED AT 09:38:41 ON 06 APR 2006
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FILE COVERS 1907 - 6 Apr 2006 VOL 144 ISS 15
FILE LAST UPDATED: 4 Apr 2006 (20060404/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

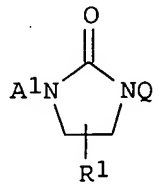
=> s l3
L4 8 L3

=> d l4 ibib abs tot

L4 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1265191 HCAPLUS
DOCUMENT NUMBER: 144:22922
TITLE: Preparation of imidazolidinones for treatment of enteroviruses.
INVENTOR(S): Chern, Jyh-Haur; Shih, Shin-Ru; Chang, Chih-Shiang; Lee, Chung-Chi; Lee, Yen-Chun
PATENT ASSIGNEE(S): National Health Research Institutes, Taiwan
SOURCE: U.S. Pat. Appl. Publ., 22 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005267164	A1	20051201	US 2005-134936		20050523
PRIORITY APPLN. INFO.:			US 2004-574266P	P	20040525

OTHER SOURCE(S): MARPAT 144:22922
GI



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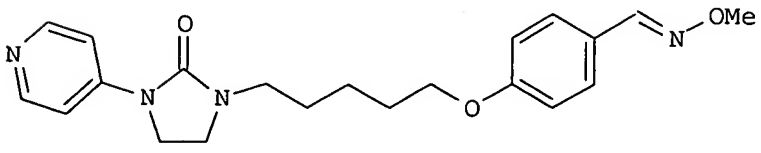
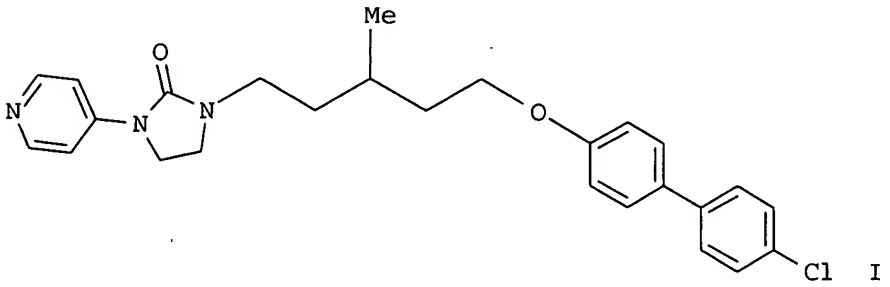


II

AB Title compds. [I; R1 = H, halo, cyano, NO22, amino, alkyl, cycloalkyl, alkoxy, aryl, aralkyl, heterocycloalkyl, heteroaryl; R2 = H, alkyl,

cycloalkyl, aryl, aralkyl, heterocycloalkyl, heteroaryl; A1, A2 = aryl, aralkyl, heteroaryl; X, Y = CH2, CHRa, CRaRb, NRc, O, S, SO, SO2, aryl, cycloalkyl heterocyclyl, heteroaryl, alkenyl, alkynyl; Ra, Rb = halo, amino, alkyl, hydroxyalkyl, alkoxy, SH, alkylthio, aryl, aralkyl, heteroaryl; Rc = alkyl, aryl, aralkyl, cycloalkyl, heteroaryl, heterocycloalkyl; m, n, p = 0-5; x, y = 0, 1; Q = (CH2)mXx(CH2)nYy(CH2)pOA2CH:NOR2; with a proviso], were prepared Thus, 1-(4-pyridyl)-2-imidazolidinone was stirred with NaH in DMF at 0°-room temperature followed by addition of 4-(5-bromopentyloxy)benzaldehyde O-Me oxime in DMF and stirring for 8 h to give 85% title compound (II). Several I showed IC50 ≤38.1 nM against enterovirus EV71-2231 and EV71-4643 in vero cell monolayers.

L4 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:921409 HCAPLUS
DOCUMENT NUMBER: 143:386968
TITLE: Synthesis and antipicornavirus activity of (R)- and (S)-1-[5-(4'-chlorobiphenyl-4-yloxy)-3-methylpentyl]-3-pyridin-4-yl-imidazolidin-2-one
AUTHOR(S): Chern, Jyh-Haur; Chang, Chih-Shiang; Tai, Chia-Liang; Lee, Yen Chun; Lee, Chung-Chi; Kang, Iou-Jiun; Lee, Ching-Yin; Shih, Shin-Ru
CORPORATE SOURCE: Division of Biotechnology and Pharmaceutical Research, National Health Research Institutes, Zhunan Town, Taichung, Miaoli County, 350, Taiwan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(19), 4206-4211
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The new pyridyl imidazolidinone derivative, 1-[5-(4'-chlorobiphenyl-4-yloxy)-3-methylpentyl]-3-pyridin-4-ylimidazolidin-2-one [(±)-I], was synthesized and found to have an excellent antiviral activity against enterovirus 71 (EV71, IC50 = 0.009 μM). Therefore, (S)-(+)-I and (R)-(-)-I were prepared starting from readily available monomethyl (R)-3-methylglutarate as a useful chiral building block and their antiviral activity was evaluated in a plaque reduction assay. Interestingly, (S)-(+)-I was 10-fold more active against EV71 (IC50 = 0.003 μM) than (R)-(-)-I (IC50 = 0.033 μM). Similar results were found against all five strains (1743, 2086, 2231, 4643, and BrCr) of EV71 tested. This demonstrated that the absolute

configuration of the chiral carbon atom at the 3-position of the alkyl linker considerably influenced the anti-EV71 activity of these pyridylimidazolidinones.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:331777 HCAPLUS
DOCUMENT NUMBER: 143:43827
TITLE: Design, Synthesis, and Antipicornavirus Activity of 1-[5-(4-Arylphenoxy)alkyl]-3-pyridin-4-ylimidazolidin-2-one Derivatives
AUTHOR(S): Chang, Chih-Shiang; Lin, Ying-Ting; Shih, Shin-Ru; Lee, Chung-Chi; Lee, Yen-Chun; Tai, Chia-Liang; Tseng, Sung-Nien; Chern, Jyh-Haur
CORPORATE SOURCE: Division of Biotechnology and Pharmaceutical Research, National Health Research Institutes, Zhunan, 350, Taiwan
SOURCE: Journal of Medicinal Chemistry (2005), 48(10), 3522-3535
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:43827
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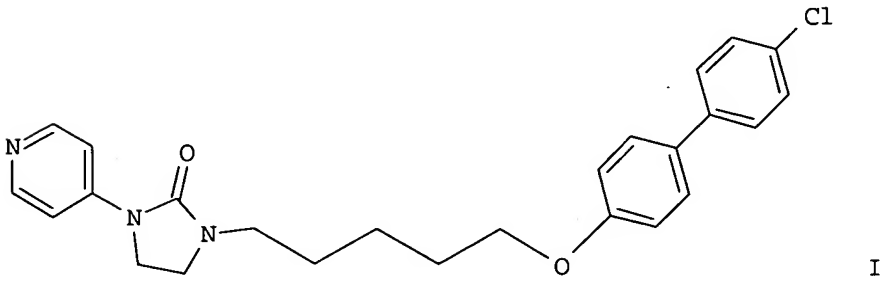

I

AB A series of pyridylimidazolidinone derivs. was synthesized and tested in vitro against enterovirus 71 (EV71). On the basis of DBPR103 (I), introduction of a Me group at the 2- or 3-position of the linker between the imidazolidinone and the biphenyl resulted in markedly improved antiviral activity toward EV71 with IC50 values of 5.0 nM and 9.3 nM, resp. Increasing the branched chain to Pr resulted in a progressive decrease in activity, while inserting different heteroatoms entirely rendered the compound only weakly active. The introduction of a bulky group (cyclohexyl, Ph, or benzyl) led to loss of activity against EV71. The 4-chlorophenyl moiety was replaced with bioisosteric groups such as oxadiazole or tetrazole dramatically improving anti-EV71 activity and selectivity indexes. Some of these compds. exhibited a strong activity against lethal EV71, and no apparent cellular toxicity was observed Three of the more potent imidazolidinone compds. were subjected to a large group of picornaviruses to determine their spectrum of antiviral activity.

REFERENCE COUNT: 96 THERE ARE 96 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:767274 HCAPLUS
DOCUMENT NUMBER: 141:410865
TITLE: Synthesis and antienteroviral activity of a series of novel, oxime ether-containing pyridyl imidazolidinones
AUTHOR(S): Chern, Jyh-Haur; Lee, Chung-Chi; Chang, Chih-Shiang; Lee, Yen-Chun; Tai, Chia-Liang; Lin, Ying-Ting; Shia, Kak-Shan; Lee, Ching-Yin; Shih, Shin-Ru
CORPORATE SOURCE: Division of Biotechnology and Pharmaceutical Research, National Health Research Institutes, Taichung, 114, Taiwan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(20), 5051-5056
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:410865
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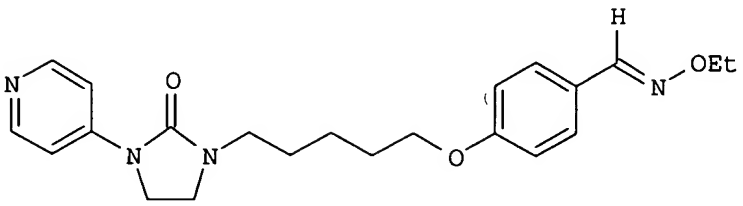


I

AB A series of oxime ether-containing pyridyl imidazolidinones, e.g., I, were synthesized and their antiviral activity was evaluated in a plaque reduction assay. This class of compds. was specific for human enteroviruses, in particular, enterovirus 71 (EV71). Some derivs. strongly inhibited enterovirus replication with activities higher or comparable to those of the reference compds. such as A1 and A2. Preliminary SAR studies revealed that the chain length of the alkyl linker and the alkyl substituent at the oxime ether group largely influenced the in vitro anti-EV71 activity of this class of potent antiviral agents. Among this series of compds. synthesized, the pyridyl imidazolidinone I, with an Et oxime ether group located at the para position of the phenoxyl ring, was identified as the most potent enterovirus 71 inhibitor (IC50 = 0.001 μM) with no apparent cytotoxic effect toward RD (rhabdomyosarcoma) cell lines (CC50 > 25 μM). Furthermore, I has been shown broad-spectrum activity against most of the serotypes of enteroviruses tested in the nanomolar range.
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

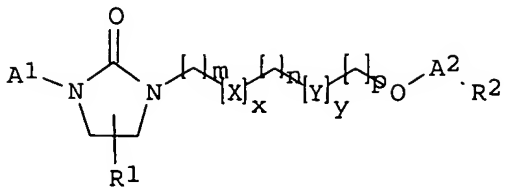
L4 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:743226 HCAPLUS
DOCUMENT NUMBER: 141:235774
TITLE: Mutation in enterovirus 71 capsid protein VP1 confers resistance to the inhibitory effects of pyridyl imidazolidinone
AUTHOR(S): Shih, Shin-Ru; Tsai, Mun-Chung; Tseng, Sung-Nien; Won, Kuo-Fang; Shia, Kak-Shan; Li, Wen-Tai; Chern,

Jyh-Haur; Chen, Guang-Wu; Lee, Chung-Chi; Lee, Yen-Chun; Peng, Kuan-Chang; Chao, Yu-Sheng
CORPORATE SOURCE: School of Medical Technology, Chang Gung University, Taoyuan, Taiwan
SOURCE: Antimicrobial Agents and Chemotherapy (2004), 48(9), 3523-3529
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Enterovirus 71 is one of the most important pathogens in the family of Picornaviridae that can cause severe complications in the postpoliovirus era, such as encephalitis, pulmonary edema, and even death. Pyridyl imidazolidinone is a novel class of potent and selective human enterovirus 71 inhibitor. Pyridyl imidazolidinone was identified by using computer-assisted drug design. This virol. investigation demonstrates that BPR0Z-194, one of the pyridyl imidazolidinones, targets enterovirus 71 capsid protein VP1. Time course expts. revealed that BPR0Z-194 effectively inhibited virus replication in the early stages, implying that the compound can inhibit viral adsorption and/or viral RNA uncoating. BPR0Z-194 was used to select and characterize the drug-resistant viruses. Sequence anal. of the VP1 region showed that the resistant variants differed consistently by seven amino acids in VP1 region from their parental drug-sensitive strains. Site-directed mutagenesis of enterovirus 71 infectious cDNA revealed that a single amino acid alteration at the position 192 of VP1 can confer resistance to the inhibitory effects of BPR0Z-194.
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:490448 HCAPLUS
DOCUMENT NUMBER: 141:54337
TITLE: Preparation of imidazolidinones for treating enterovirus infection
INVENTOR(S): Chern, Jyh-Haur; Shih, Shin-Ru; Chen, Chiung-Tong; Chang, Chih-Shiang; Lee, Chung-Chi; Lee, Yen-Chun; Tai, Chia-Liang
PATENT ASSIGNEE(S): Taiwan
SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S. Ser. No. 191,941.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004116476	A1	20040617	US 2003-717786	20031119
US 2003087936	A1	20030508	US 2002-191941	20020709
US 6706739	B2	20040316		
PRIORITY APPLN. INFO.:			US 2002-191941	A2 20020709
			US 2001-313878P	P 20010821

OTHER SOURCE(S): MARPAT 141:54337
GI



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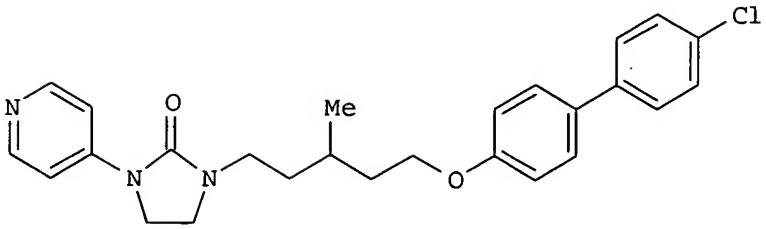

II

AB The title compds. [I; R1, R2 = H, halo, alkyl, aryl, etc.; A1, A2 = aryl, aralkyl, heteroaryl; X, Y = S, SO, substituted CH2, etc.; m, n, p = 0-5; x, y = 0-1 (at least one of x and y = 1); with provisos], useful in treating enterovirus infection, were prepared Thus, reacting 1-(4-pyridyl)-2-imidazolidinone with 4-(5-bromo-3-methylpentyloxy)-4'-chlorobiphenyl in the presence of NaH in DMF afforded 71% II which showed antiviral activity against enterovirus, in particular, EV71, coxsackieviruses A9, and A24. The pharmaceutical composition comprising the compound I is claimed.

L4 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:355843 HCAPLUS
DOCUMENT NUMBER: 138:353994
TITLE: Preparation of substituted imidazolidinones as antiviral agents
INVENTOR(S): Shia, Kak-Shan; Shih, Shin-Ru; Chang, Chung-Ming; Chern, Jyh-Haur; Li, Wen-Tai; Chen, Shu-Jen; Hsu, Ming-Chu
PATENT ASSIGNEE(S): National Health Research Institute, Taiwan
SOURCE: U.S. Pat. Appl. Publ., 16 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003087936	A1	20030508	US 2002-191941	20020709
US 6706739	B2	20040316		
TW 589307	B	20040601	TW 2002-91117489	20020802
US 2004116476	A1	20040617	US 2003-717786	20031119
PRIORITY APPLN. INFO.:			US 2001-313878P	P 20010821
			US 2002-191941	A2 20020709

OTHER SOURCE(S): MARPAT 138:353994
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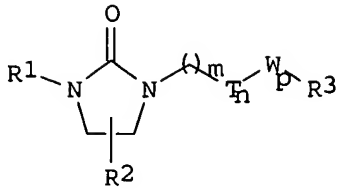

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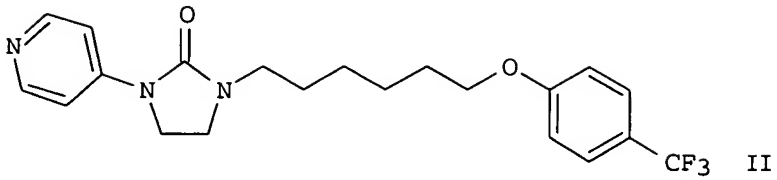
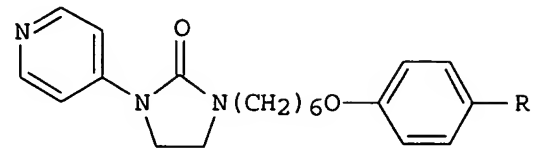


II

AB Title compds. I [R1, R3 = aryl, aralkyl, heteroaryl, etc.; R2 = H, alkyl, haloalkyl, aryl, etc.; T = NH, O; W = (CH2)1-4O; m = 4-8; n, p = 0-1 provided at least one of n, p = 1] are prepared For instance, 1-(4-pyridyl)-2-imidazolidinone is reacted with 1-bromo-6-[4-(trifluoromethyl)phenoxy]hexane (DMF, NaH, 0°, 30 min) to give II. Selected compds. showed antiviral activity against enteroviruses, in particular, enterovirus 71 and coxsackieviruses A9 and A24.

L4 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:177380 HCAPLUS
DOCUMENT NUMBER: 136:369658
TITLE: Design, Synthesis, and Structure-Activity Relationship of Pyridyl Imidazolidinones: A Novel Class of Potent and Selective Human Enterovirus 71 Inhibitors
AUTHOR(S): Shia, Kak-Shan; Li, Wen-Tai; Chang, Chung-Ming; Hsu, Ming-Chu; Chern, Jyh-Haur; Leong, Max K.; Tseng, Sung-Nien; Lee, Chung-Chi; Lee, Yen-Chun; Chen, Shu-Jen; Peng, Kuan-Chang; Tseng, Huan-Yi; Chang, Yi-Ling; Tai, Chia-Liang; Shih, Shin-Ru
CORPORATE SOURCE: Division of Biotechnology and Pharmaceutical Research, National Health Research Institutes, Taipei, 11529, Taiwan
SOURCE: Journal of Medicinal Chemistry (2002), 45(8), 1644-1655
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:369658
GI



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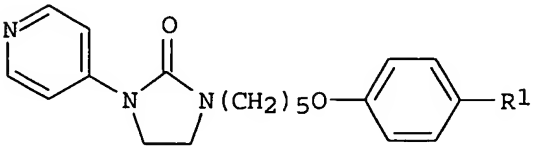

II

AB When skeletons of Win compds. were used as templates, computer-assisted drug design led to the identification of a novel series of imidazolidinone derivs. with significant antiviral activity against enterovirus 71 (EV 71), the infection of which had resulted in about 80 fatalities during the 1998 epidemic outbreak in Taiwan. In addition to inhibiting all the genotypes (A, B, and C) of EV 71 in the submicromolar to low micromolar range, compds. I (R = Br, CF3) were extensively evaluated against a variety of viruses, showing potent activity against coxsackievirus A9 (IC50 = 0.47-0.55 μM) and coxsackievirus A24 (IC50 = 0.47-0.55 μM) as well as moderate activity against enterovirus 68 (IC50 = 2.13 μM) and echovirus 9 (IC50 = 2.6 μM). Our SAR studies revealed that imidazolidinone analogs with an aryl substituent at the para position of the phenoxyl ring, such as II [R1 = (un)substituted phenyl], in general exhibited the highest activity against EV 71. Among them, II (R1 = Ph) and its hydrochloride salt, in terms of potency and selectivity index, appear to be the most promising candidates in this series for further development of anti-EV-71 agents. Preliminary results of the study on the mode of action by a time-course experiment suggest that test compds. I (R = Br, CF3) can effectively inhibit virus replication in the early stages, referring to virus attachment or uncoating. This indicates that the surface protein may be the target for this type of compound

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	39.63	207.22

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.00	-6.00

FILE 'REGISTRY' ENTERED AT 09:43:01 ON 06 APR 2006

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 4 APR 2006 HIGHEST RN 879269-14-4

DICTIONARY FILE UPDATES: 4 APR 2006 HIGHEST RN 879269-14-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

```
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005. A new display format, IDERL, is now      *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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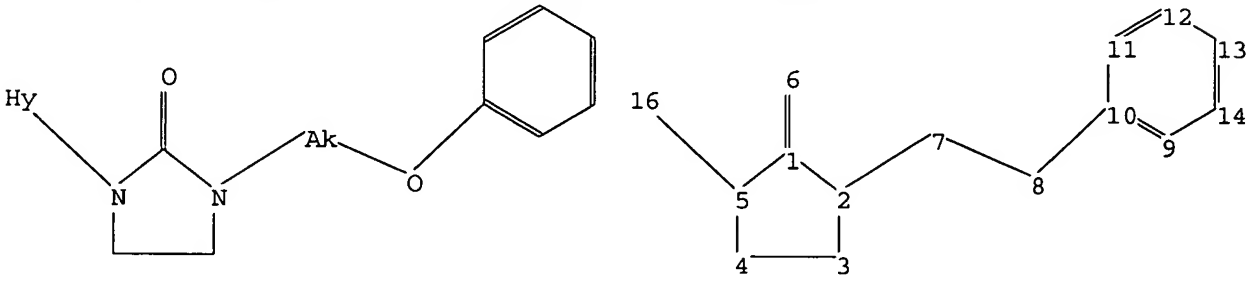
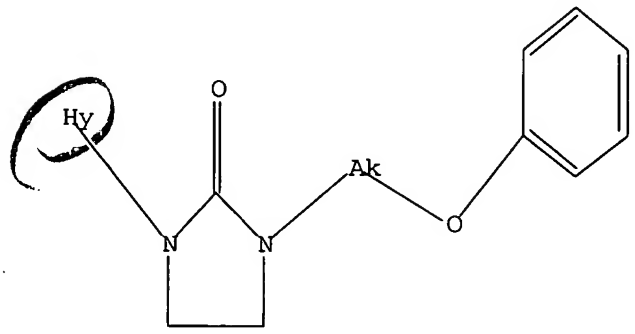


chain nodes :
6 7 8 16
ring nodes :
1 2 3 4 5 9 10 11 12 13 14
chain bonds :
1-6 2-7 5-16 7-8 8-10
ring bonds :
1-2 1-5 2-3 3-4 4-5 9-10 9-14 10-11 11-12 12-13 13-14
exact/norm bonds :
1-2 1-5 1-6 2-3 2-7 4-5 5-16 7-8 8-10
exact bonds :
3-4
normalized bonds :
9-10 9-14 10-11 11-12 12-13 13-14
isolated ring systems :
containing 1 : 9 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 16:Atom

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l5
SAMPLE SEARCH INITIATED 09:43:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 7172 TO ITERATE

27.9% PROCESSED 2000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 138363 TO 148517
PROJECTED ANSWERS: 1 TO 184

L6 1 SEA SSS SAM L5

=> s l5 sss full
FULL SEARCH INITIATED 09:43:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 142527 TO ITERATE

100.0% PROCESSED 142527 ITERATIONS 157 ANSWERS
SEARCH TIME: 00.00.05

L7 157 SEA SSS FUL L5

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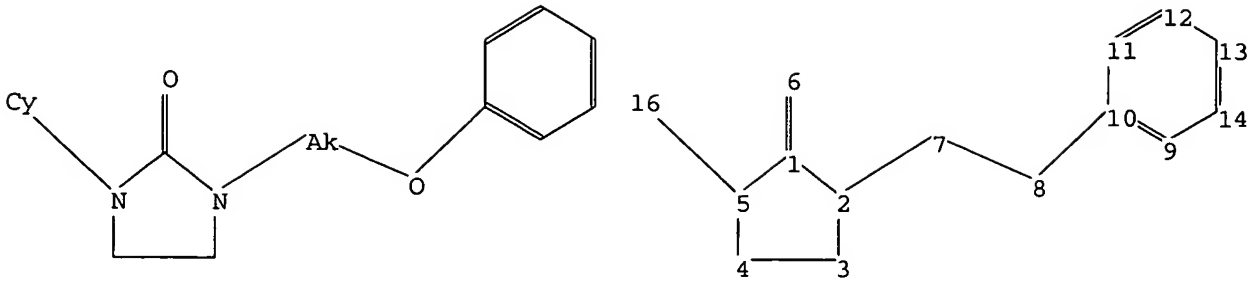
Uploading C:\Program Files\Stnexp\Queries\10717786b.str



chain nodes :
6 7 8 16
ring nodes :
1 2 3 4 5 9 10 11 12 13 14
chain bonds :
1-6 2-7 5-16 7-8 8-10
ring bonds :
1-2 1-5 2-3 3-4 4-5 9-10 9-14 10-11 11-12 12-13 13-14
exact/norm bonds :
1-2 1-5 1-6 2-3 2-7 4-5 5-16 7-8 8-10
exact bonds :
3-4
normalized bonds :
9-10 9-14 10-11 11-12 12-13 13-14
isolated ring systems :
containing 1 : 9 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 16:Atom

L8 STRUCTURE UPLOADED

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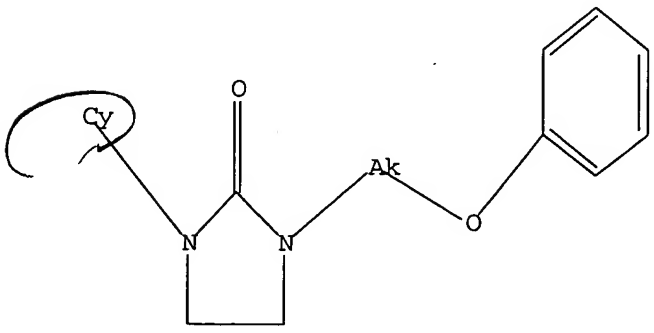
L8 HAS NO ANSWERS
L8 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -     7172 TO ITERATE

 27.9% PROCESSED     2000 ITERATIONS                                 4 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       138363 TO   148517
PROJECTED ANSWERS:              59 TO      513

L9             4 SEA SSS SAM L8

=> s l8 sss full
FULL SEARCH INITIATED 09:45:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   142527 TO ITERATE

100.0% PROCESSED   142527 ITERATIONS                          286 ANSWERS
SEARCH TIME: 00.00.05

L10          286 SEA SSS FUL L8

=> FIL HCAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   334.76     541.98

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -6.00

FILE 'HCAPLUS' ENTERED AT 09:45:32 ON 06 APR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 6 Apr 2006  VOL 144 ISS 15
FILE LAST UPDATED: 4 Apr 2006  (20060404/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.
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=> d his

     (FILE 'HOME' ENTERED AT 09:37:04 ON 06 APR 2006)

     FILE 'REGISTRY' ENTERED AT 09:37:13 ON 06 APR 2006
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L2               7 S L1
L3             132 S L1 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 09:38:41 ON 06 APR 2006
L4               8 S L3

     FILE 'REGISTRY' ENTERED AT 09:43:01 ON 06 APR 2006
L5             STRUCTURE UPLOADED
L6               1 S L5
L7             157 S L5 SSS FULL
L8             STRUCTURE UPLOADED
L9               4 S L8
L10            286 S L8 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 09:45:32 ON 06 APR 2006

=> s l7
L11              9 L7

=> s l10
L12             21 L10

=> s l10 and py<=2002
             21 L10
       22795084 PY<=2002
L13             12 L10 AND PY<=2002

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YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n


=> d l11 ibib abs tot

L11  ANSWER 1 OF 9  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2005:1265191  HCAPLUS
DOCUMENT NUMBER:          144:22922
TITLE:                    Preparation of imidazolidinones for treatment of
                          enteroviruses.
INVENTOR(S):              Chern, Jyh-Haur; Shih, Shin-Ru; Chang, Chih-Shiang;
                          Lee, Chung-Chi; Lee, Yen-Chun
PATENT ASSIGNEE(S):       National Health Research Institutes, Taiwan
SOURCE:                   U.S. Pat. Appl. Publ., 22 pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
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     US 2005267164         A1    20051201    US 2005-134936           20050523
PRIORITY APPLN. INFO.:                       US 2004-574266P      P   20040525
OTHER SOURCE(S):          MARPAT 144:22922
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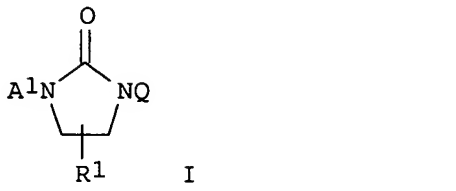

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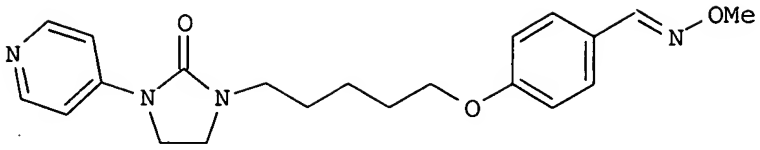
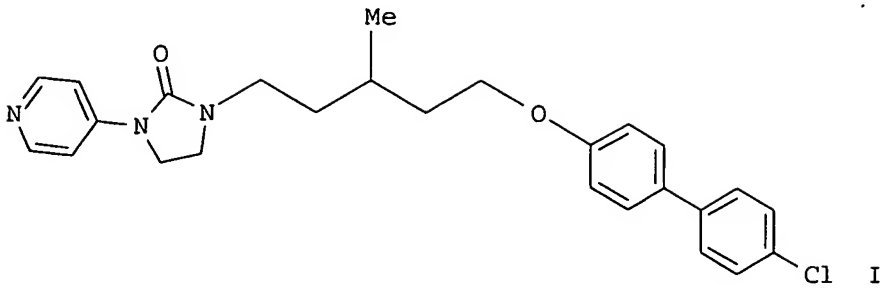


II

AB Title compds. [I; R1 = H, halo, cyano, NO22, amino, alkyl, cycloalkyl, alkoxy, aryl, aralkyl, heterocycloalkyl, heteroaryl; R2 = H, alkyl, cycloalkyl, aryl, aralkyl, heterocycloalkyl, heteroaryl; A1, A2 = aryl, aralkyl, heteroaryl; X, Y = CH2, CHRa, CRaRb, NRc, O, S, SO, SO2, aryl, cycloalkyl heterocyclyl, heteroaryl, alkenyl, alkynyl; Ra, Rb = halo, amino, alkyl, hydroxyalkyl, alkoxy, SH, alkylthio, aryl, aralkyl, heteroaryl; Rc = alkyl, aryl, aralkyl, cycloalkyl, heteroaryl, heterocycloalkyl; m, n, p = 0-5; x, y = 0, 1; Q = (CH2)mXx(CH2)nYy(CH2)pOA2CH:NOR2; with a proviso], were prepared Thus, 1-(4-pyridyl)-2-imidazolidinone was stirred with NaH in DMF at 0°-room temperature followed by addition of 4-(5-bromopentyloxy)benzaldehyde O-Me oxime in DMF and stirring for 8 h to give 85% title compound (II). Several I showed IC50 ≤38.1 nM against enterovirus EV71-2231 and EV71-4643 in vero cell monolayers.

L11 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:921409 HCAPLUS
DOCUMENT NUMBER: 143:386968
TITLE: Synthesis and antipicornavirus activity of (R)- and (S)-1-[5-(4'-chlorobiphenyl-4-yloxy)-3-methylpentyl]-3-pyridin-4-yl-imidazolidin-2-one
AUTHOR(S): Chern, Jyh-Haur; Chang, Chih-Shiang; Tai, Chia-Liang; Lee, Yen-Chun; Lee, Chung-Chi; Kang, Iou-Jiun; Lee, Ching-Yin; Shih, Shin-Ru
CORPORATE SOURCE: Division of Biotechnology and Pharmaceutical Research, National Health Research Institutes, Zhunan Town, Taichung, Miaoli County, 350, Taiwan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(19), 4206-4211
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The new pyridyl imidazolidinone derivative, 1-[5-(4'-chlorobiphenyl-4-yloxy)-3-methylpentyl]-3-pyridin-4-ylimidazolidin-2-one [(±)-I], was synthesized and found to have an excellent antiviral activity against enterovirus 71 (EV71, IC50 = 0.009 μM). Therefore, (S)-(+)-I and (R)-(-)-I were prepared starting from readily available monomethyl (R)-3-methylglutarate as a useful chiral building block and their antiviral activity was evaluated in a plaque reduction assay. Interestingly, (S)-(+)-I was 10-fold more active against EV71 (IC50 = 0.003 μM) than (R)-(-)-I (IC50 = 0.033 μM). Similar results were found against all five strains (1743, 2086, 2231, 4643, and BrCr) of EV71 tested. This demonstrated that the absolute configuration of the chiral carbon atom at the 3-position of the alkyl linker considerably influenced the anti-EV71 activity of these pyridylimidazolidinones.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:331777 HCAPLUS

DOCUMENT NUMBER: 143:43827

TITLE: Design, Synthesis, and Antipicornavirus Activity of 1-[5-(4-Arylphenoxy)alkyl]-3-pyridin-4-ylimidazolidin-2-one Derivatives

AUTHOR(S): Chang, Chih-Shiang; Lin, Ying-Ting; Shih, Shin-Ru; Lee, Chung-Chi; Lee, Yen-Chun; Tai, Chia-Liang; Tseng, Sung-Nien; Chern, Jyh-Haur

CORPORATE SOURCE: Division of Biotechnology and Pharmaceutical Research, National Health Research Institutes, Zhunan, 350, Taiwan

SOURCE: Journal of Medicinal Chemistry (2005), 48(10), 3522-3535
CODEN: JMCMAR; ISSN: 0022-2623

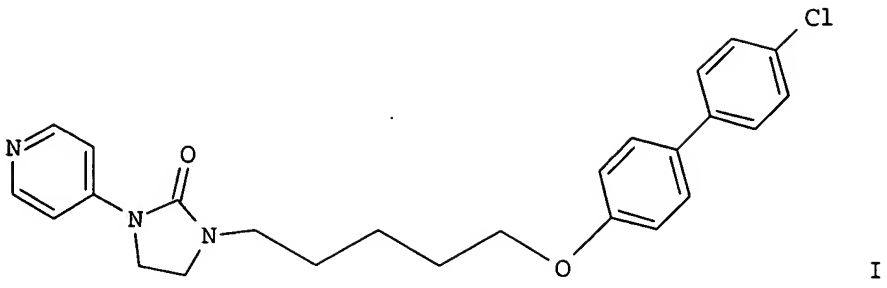
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:43827

GI



I

AB A series of pyridylimidazolidinone derivs. was synthesized and tested in vitro against enterovirus 71 (EV71). On the basis of DBPR103 (I), introduction of a Me group at the 2- or 3-position of the linker between the imidazolidinone and the biphenyl resulted in markedly improved antiviral activity toward EV71 with IC50 values of 5.0 nM and 9.3 nM, resp. Increasing the branched chain to Pr resulted in a progressive decrease in activity, while inserting different heteroatoms entirely rendered the compound only weakly active. The introduction of a bulky group (cyclohexyl, Ph, or benzyl) led to loss of activity against EV71. The 4-chlorophenyl moiety was replaced with bioisosteric groups such as oxadiazole or tetrazole dramatically improving anti-EV71 activity and selectivity indexes. Some of these compds. exhibited a strong activity against lethal EV71, and no apparent cellular toxicity was observed Three of the more potent imidazolidinone compds. were subjected to a large group of picornaviruses to determine their spectrum of antiviral activity.

REFERENCE COUNT: 96 THERE ARE 96 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:767274 HCAPLUS
DOCUMENT NUMBER: 141:410865
TITLE: Synthesis and antienteroviral activity of a series of novel, oxime ether-containing pyridyl imidazolidinones
AUTHOR(S): Chern, Jyh-Haur; Lee, Chung-Chi; Chang, Chih-Shiang; Lee, Yen-Chun; Tai, Chia-Liang; Lin, Ying-Ting; Shia, Kak-Shan; Lee, Ching-Yin; Shih, Shin-Ru
CORPORATE SOURCE: Division of Biotechnology and Pharmaceutical Research, National Health Research Institutes, Taichung, 114, Taiwan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(20), 5051-5056
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:410865
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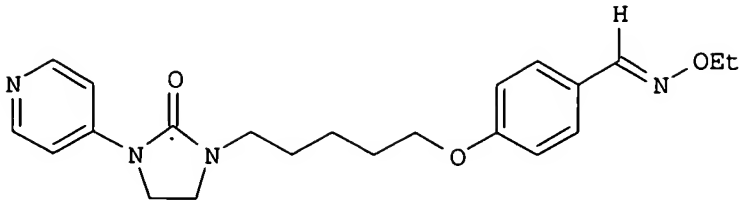

I

AB A series of oxime ether-containing pyridyl imidazolidinones, e.g., I, were synthesized and their antiviral activity was evaluated in a plaque reduction assay. This class of compds. was specific for human enteroviruses, in particular, enterovirus 71 (EV71). Some derivs. strongly inhibited enterovirus replication with activities higher or comparable to those of the reference compds. such as A1 and A2. Preliminary SAR studies revealed that the chain length of the alkyl linker and the alkyl substituent at the oxime ether group largely influenced the in vitro anti-EV71 activity of this class of potent antiviral agents. Among this series of compds. synthesized, the pyridyl imidazolidinone I, with an Et oxime ether group located at the para position of the phenoxyl ring, was identified as the most potent enterovirus 71 inhibitor (IC50 = 0.001 μM) with no apparent cytotoxic effect toward RD (rhabdomyosarcoma) cell lines (CC50 > 25 μM). Furthermore, I has been shown broad-spectrum activity against most of the serotypes of enteroviruses tested in the nanomolar range.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:743226 HCAPLUS

DOCUMENT NUMBER: 141:235774

TITLE: Mutation in enterovirus 71 capsid protein VP1 confers resistance to the inhibitory effects of pyridyl imidazolidinone

AUTHOR(S): Shih, Shin-Ru; Tsai, Mun-Chung; Tseng, Sung-Nien; Won, Kuo-Fang; Shia, Kak-Shan; Li, Wen-Tai; Chern, Jyh-Haur; Chen, Guang-Wu; Lee, Chung-Chi; Lee, Yen-Chun; Peng, Kuan-Chang; Chao, Yu-Sheng

CORPORATE SOURCE: School of Medical Technology, Chang Gung University, Taoyuan, Taiwan

SOURCE: Antimicrobial Agents and Chemotherapy (2004), 48(9), 3523-3529
CODEN: AMACCQ; ISSN: 0066-4804

PUBLISHER: American Society for Microbiology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Enterovirus 71 is one of the most important pathogens in the family of Picornaviridae that can cause severe complications in the postpoliovirus era, such as encephalitis, pulmonary edema, and even death. Pyridyl imidazolidinone is a novel class of potent and selective human enterovirus 71 inhibitor. Pyridyl imidazolidinone was identified by using computer-assisted drug design. This virol. investigation demonstrates that BPR0Z-194, one of the pyridyl imidazolidinones, targets enterovirus 71 capsid protein VP1. Time course expts. revealed that BPR0Z-194 effectively inhibited virus replication in the early stages, implying that the compound can inhibit viral adsorption and/or viral RNA uncoating. BPR0Z-194 was used to select and characterize the drug-resistant viruses. Sequence anal. of the VP1 region showed that the resistant variants

differed consistently by seven amino acids in VP1 region from their parental drug-sensitive strains. Site-directed mutagenesis of enterovirus 71 infectious cDNA revealed that a single amino acid alteration at the position 192 of VP1 can confer resistance to the inhibitory effects of BPR0Z-194.

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:490448 HCAPLUS
DOCUMENT NUMBER: 141:54337
TITLE: Preparation of imidazolidinones for treating enterovirus infection
INVENTOR(S): Chern, Jyh-Haur; Shih, Shin-Ru; Chen, Chiung-Tong; Chang, Chih-Shiang; Lee, Chung-Chi; Lee, Yen-Chun; Tai, Chia-Liang
PATENT ASSIGNEE(S): Taiwan
SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S. Ser. No. 191,941.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004116476	A1	20040617	US 2003-717786	20031119
US 2003087936	A1	20030508	US 2002-191941	20020709
US 6706739	B2	20040316		
PRIORITY APPLN. INFO.:			US 2002-191941	A2 20020709
			US 2001-313878P	P 20010821

OTHER SOURCE(S): MARPAT 141:54337
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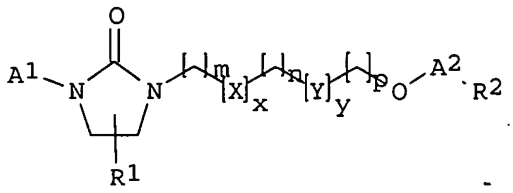

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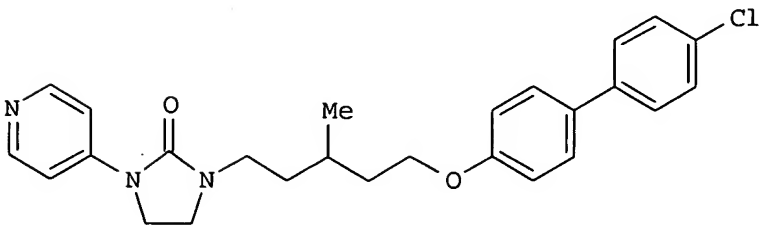


II

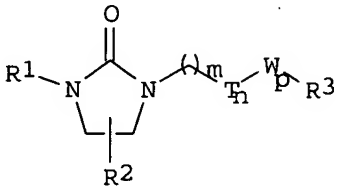
AB The title compds. [I; R1, R2 = H, halo, alkyl, aryl, etc.; A1, A2 = aryl,

aralkyl, heteroaryl; X, Y = S, SO, substituted CH2, etc.; m, n, p = 0-5; x, y = 0-1 (at least one of x and y = 1); with provisos], useful in treating enterovirus infection, were prepared Thus, reacting 1-(4-pyridyl)-2-imidazolidinone with 4-(5-bromo-3-methylpentyloxy)-4'-chlorobiphenyl in the presence of NaH in DMF afforded 71% II which showed antiviral activity against enterovirus, in particular, EV71, coxsackieviruses A9, and A24. The pharmaceutical composition comprising the compound I is claimed.

L11 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:355843 HCAPLUS
DOCUMENT NUMBER: 138:353994
TITLE: Preparation of substituted imidazolidinones as antiviral agents
INVENTOR(S): Shia, Kak-Shan; Shih, Shin-Ru; Chang, Chung-Ming; Chern, Jyh-Haur; Li, Wen-Tai; Chen, Shu-Jen; Hsu, Ming-Chu
PATENT ASSIGNEE(S): National Health Research Institute, Taiwan
SOURCE: U.S. Pat. Appl. Publ., 16 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2003087936	A1	20030508	US 2002-191941		20020709
US 6706739	B2	20040316			
TW 589307	B	20040601	TW 2002-91117489		20020802
US 2004116476	A1	20040617	US 2003-717786		20031119
PRIORITY APPLN. INFO.:			US 2001-313878P	P	20010821
			US 2002-191941	A2	20020709

OTHER SOURCE(S): MARPAT 138:353994
GI



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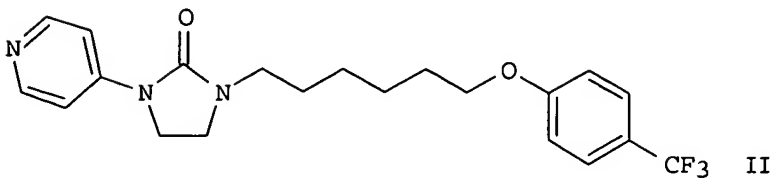
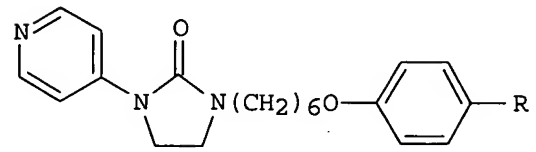


II

AB Title compds. I [R1, R3 = aryl, aralkyl, heteroaryl, etc.; R2 = H, alkyl, haloalkyl, aryl, etc.; T = NH, O; W = (CH2)1-4O; m = 4-8; n, p = 0-1 provided at least one of n, p = 1] are prepared For instance, 1-(4-pyridyl)-2-imidazolidinone is reacted with 1-bromo-6-[4-(trifluoromethyl)phenoxy]hexane (DMF, NaH, 0°, 30 min) to give II. Selected compds. showed antiviral activity against enteroviruses, in particular, enterovirus 71 and coxsackieviruses A9 and A24.

L11 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:177380 HCAPLUS
DOCUMENT NUMBER: 136:369658
TITLE: Design, Synthesis, and Structure-Activity Relationship of Pyridyl Imidazolidinones: A Novel Class of Potent and Selective Human Enterovirus 71 Inhibitors
AUTHOR(S): Shia, Kak-Shan; Li, Wen-Tai; Chang, Chung-Ming; Hsu, Ming-Chu; Chern, Jyh-Haur; Leong, Max K.; Tseng, Sung-Nien; Lee, Chung-Chi; Lee, Yen-Chun; Chen, Shu-Jen; Peng, Kuan-Chang; Tseng, Huan-Yi; Chang, Yi-Ling; Tai, Chia-Liang; Shih, Shin-Ru
CORPORATE SOURCE: Division of Biotechnology and Pharmaceutical Research, National Health Research Institutes, Taipei, 11529, Taiwan
SOURCE: Journal of Medicinal Chemistry (2002), 45(8), 1644-1655
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:369658
GI



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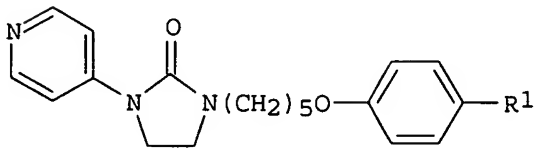

II

AB When skeletons of Win compds. were used as templates, computer-assisted drug design led to the identification of a novel series of imidazolidinone derivs. with significant antiviral activity against enterovirus 71 (EV 71), the infection of which had resulted in about 80 fatalities during the 1998 epidemic outbreak in Taiwan. In addition to inhibiting all the genotypes (A, B, and C) of EV 71 in the submicromolar to low micromolar range, compds. I (R = Br, CF3) were extensively evaluated against a variety of viruses, showing potent activity against coxsackievirus A9 (IC50 = 0.47-0.55 μM) and coxsackievirus A24 (IC50 = 0.47-0.55 μM) as well as moderate activity against enterovirus 68 (IC50 = 2.13 μM)

and echovirus 9 (IC50 = 2.6 μM). Our SAR studies revealed that imidazolidinone analogs with an aryl substituent at the para position of the phenoxyl ring, such as II [R1 = (un)substituted phenyl], in general exhibited the highest activity against EV 71. Among them, II (R1 = Ph) and its hydrochloride salt, in terms of potency and selectivity index, appear to be the most promising candidates in this series for further development of anti-EV-71 agents. Preliminary results of the study on the mode of action by a time-course experiment suggest that test compds. I (R = Br, CF3) can effectively inhibit virus replication in the early stages, referring to virus attachment or uncoating. This indicates that the surface protein may be the target for this type of compound

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:887878 HCAPLUS
DOCUMENT NUMBER: 123:286023
TITLE: Preparation of 5-[4-(heterocyclylalkoxy)benzyl - or benzylidene]thiazolidine-2,4-dione derivatives as hypolipidemics and hypoglycemics
INVENTOR(S): Yano, Shingo; Ogawa, Kazuo; Fukushima, Masakazu
PATENT ASSIGNEE(S): Taiho Pharmaceutical Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 57 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 07138258	A2	19950530	JP 1993-286509		19931116
CA 2177553	AA	19971129	CA 1996-2177553		19960528
PRIORITY APPLN. INFO.:			JP 1993-286509	A	19931116

OTHER SOURCE(S): MARPAT 123:286023
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R1, R2 = H, halo, lower (halo)alkyl or (halo)alkoxy; or R1 and R2 are bonded together to form C1-3 alkylenedioxy; X = N, CH; the single bond with a dotted line represents a single bond or a double bond; A = heterocyclyl selected from Q - Q5; R3, R4 = H, lower alkyl; n = 1-4], having little side effects and useful as antidiabetics having activity for lowering both sugar and lipids in blood, are prepared Thus, a solution of benzaldehyde derivative Q6-CHO (R1 = CF3) (preparation given) 9.5, 2,4-thiazolidinone 3.8, and AcONa 4.3 g in 50 mL toluene was refluxed for 15 h and the solvent was removed by distillation to give, after treatment with 80% aqueous AcOH and filtration of precipitated crystals, 76% 5-benzylidene-2,4-thiazolidinone derivative (II; R = Q6, wherein R1 = CF3) which was hydrogenated over 5% Pd-C in 1,4-dioxane at 50° and H pressure 50 atm to give 80% 5-benzyl-2,4-thiazolidinone derivative (III; R = Q6, wherein R1 = CF3) (IV). IV and III (R = Q6, wherein R1 = CF3O) at 2.5 mg/kg p.o. twice a day for 5 consecutive days lowered the blood sugar level by 41 and 53%, resp., in mice.

=> d l13 ibib abs tot

L13 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:888730 HCAPLUS

DOCUMENT NUMBER: 137:384747
TITLE: Preparation of arylsulfonylpyranhydroxamates as matrix metalloprotease and/or aggrecanase inhibitors
INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Fobian, Yvette M.; Freskos, John N.; Hockerman, Susan L.; Kassab, Darren J.; Kolodziej, Steve A.; McDonald, Joseph J.; Norton, Monica B.; Rico, Joseph G.; Talley, John J.; Villamil, Clara I.; Wang, Tijuan Jane
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 627 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092588	A2	20021121	WO 2002-US15257	20020510 <--
WO 2002092588	A3	20030227		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2446586	AA	20021121	CA 2002-2446586	20020510 <--
EP 1385836	A2	20040204	EP 2002-729204	20020510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002009525	A	20040309	BR 2002-9525	20020510
JP 2004530691	T2	20041007	JP 2002-589473	20020510
ZA 2003008525	A	20050217	ZA 2003-8525	20030131
BG 108285	A	20040930	BG 2003-108285	20031023
NO 2003004995	A	20031216	NO 2003-4995	20031110
US 2005101641	A1	20050512	US 2004-992483	20041117

PRIORITY APPLN. INFO.:	US 2001-290375P	P	20010511
	US 2002-142737	A3	20020510
	WO 2002-US15257	W	20020510
	US 2003-657034	A3	20030905

OTHER SOURCE(S): MARPAT 137:384747
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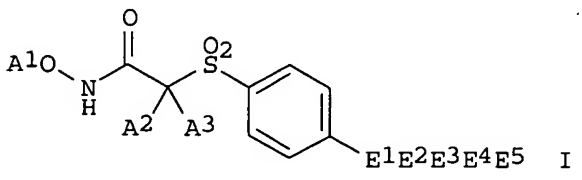
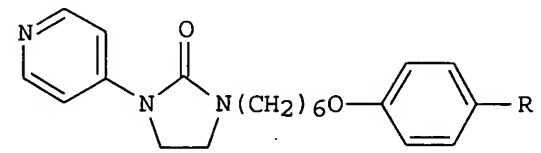


I

AB Title compds. [I; A1 = H, (substituted) alkylcarbonyl, alkoxycarbonyl, carbocyclylcarbonyl, heterocyclylcarbonyl, aminoalkylthiocarbonyl, etc.;

A2A3C = (substituted) heterocyclyl; E1 = O, S, SO, SO2, NR1, CONR1, CR1R2; E2 = (substituted) alkyl, cycloalkyl, alkylcycloalkyl, cycloalkylalkyl, alkylcycloalkylalkyl; E3 = CO, O2C, CNR3, NR4, NR4SO2, S, SO, etc.; E4 = bond, (substituted) alkyl, alkenyl; E5 = H, OH, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, carbocyclyl, heterocyclyl; R1, R2 = H, (substituted) alkyl; with provisos], were prepared Thus, tetrahydro-4-[[4-[[5-(4-methoxyphenyl)-5-oxopentyl]oxy]phenyl]sulfonyl]-2H-pyran-4-carboxylic acid 1,1-dimethylethyl ester (preparation given) in CH2Cl2 was treated with Me3SiCN and ZnI2 to give 81% cyanohydrin. The product in DMF was treated with 1-hydroxybenzotriazole, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, N-methylmorpholine, and tetrahydropyranhydroxylamine to give 70% THP-protected hydroxamate. The latter was stirred with aqueous HCl in dioxane/MeOH to give 59% 4-[[4-[[(4Z)-5-cyano-5-(4-methoxyphenyl)-4-pentenyl]oxy]phenyl]sulfonyl]tetrahydro-N-hydroxy-2H-pyran-4-carboxamide. This inhibited MMP-13 with IC50 = 0.2 nM.

L13 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:177380 HCAPLUS
DOCUMENT NUMBER: 136:369658
TITLE: Design, Synthesis, and Structure-Activity Relationship of Pyridyl Imidazolidinones: A Novel Class of Potent and Selective Human Enterovirus 71 Inhibitors
AUTHOR(S): Shia, Kak-Shan; Li, Wen-Tai; Chang, Chung-Ming; Hsu, Ming-Chu; Chern, Jyh-Haur; Leong, Max K.; Tseng, Sung-Nien; Lee, Chung-Chi; Lee, Yen-Chun; Chen, Shu-Jen; Peng, Kuan-Chang; Tseng, Huan-Yi; Chang, Yi-Ling; Tai, Chia-Liang; Shih, Shin-Ru
CORPORATE SOURCE: Division of Biotechnology and Pharmaceutical Research, National Health Research Institutes, Taipei, 11529, Taiwan
SOURCE: Journal of Medicinal Chemistry (2002), 45(8), 1644-1655
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:369658
GI



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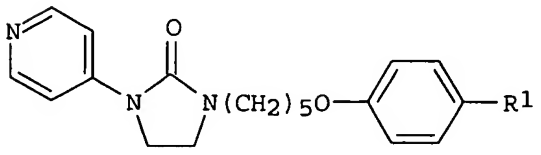


II

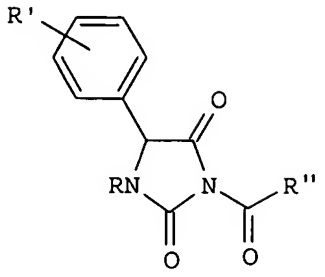
AB When skeletons of Win compds. were used as templates, computer-assisted

drug design led to the identification of a novel series of imidazolidinone derivs. with significant antiviral activity against enterovirus 71 (EV 71), the infection of which had resulted in about 80 fatalities during the 1998 epidemic outbreak in Taiwan. In addition to inhibiting all the genotypes (A, B, and C) of EV 71 in the submicromolar to low micromolar range, compds. I (R = Br, CF3) were extensively evaluated against a variety of viruses, showing potent activity against coxsackievirus A9 (IC50 = 0.47-0.55 μM) and coxsackievirus A24 (IC50 = 0.47-0.55 μM) as well as moderate activity against enterovirus 68 (IC50 = 2.13 μM) and echovirus 9 (IC50 = 2.6 μM). Our SAR studies revealed that imidazolidinone analogs with an aryl substituent at the para position of the phenoxyl ring, such as II [R1 = (un)substituted phenyl], in general exhibited the highest activity against EV 71. Among them, II (R1 = Ph) and its hydrochloride salt, in terms of potency and selectivity index, appear to be the most promising candidates in this series for further development of anti-EV-71 agents. Preliminary results of the study on the mode of action by a time-course experiment suggest that test compds. I (R = Br, CF3) can effectively inhibit virus replication in the early stages, referring to virus attachment or uncoating. This indicates that the surface protein may be the target for this type of compound

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:122836 HCAPLUS
DOCUMENT NUMBER: 128:204834
TITLE: Synthesis of 5-membered ring-type compounds as potential cholecystokinin receptor ligands
AUTHOR(S): Pentassuglia, Giorgio; Araldi, Gian Luca; Donati, Daniele; Feriani, Aldo; Oliosi, Beatrice; Pasquarello, Alessandra; Ursini, Antonella
CORPORATE SOURCE: Glaxo Wellcome S.p.A., Medicines Research Centre, Verona, 37135, Italy
SOURCE: Farmaco (1997), 52(10), 573-581
CODEN: FRMCE8; ISSN: 0014-827X
PUBLISHER: Societa Chimica Italiana
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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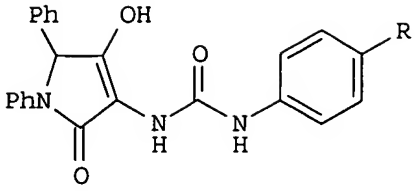

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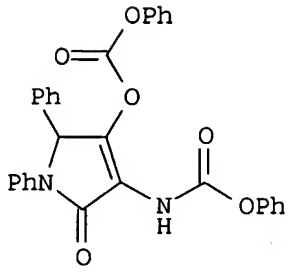


III

AB Imidazolidine-2,4-diones I (R = Ph, 2-ClC6H4, 1-adamantylmethyl, R' = H, 2-Cl, 4-Cl, 3,4-Cl2, R" = Ph, 2-naphthyl, PhO, etc.) and 1,5-di-Ph tetramic acid derivs. II (R = H, Cl) and III were selected in order to evaluate some 5-membered heterocyclic ring compds. as potential templates for the synthesis of CCK receptor ligands. All the compds. were evaluated in vitro towards both CCK-B and CCK-A receptors.

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:887878 HCAPLUS
DOCUMENT NUMBER: 123:286023
TITLE: Preparation of 5-[4-(heterocyclylalkoxy)benzyl - or benzylidene]thiazolidine-2,4-dione derivatives as hypolipidemics and hypoglycemics
INVENTOR(S): Yano, Shingo; Ogawa, Kazuo; Fukushima, Masakazu
PATENT ASSIGNEE(S): Taiho Pharmaceutical Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 57 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07138258	A2	19950530	JP 1993-286509	19931116 <--
CA 2177553	AA	19971129	CA 1996-2177553	19960528 <--
PRIORITY APPLN. INFO.:			JP 1993-286509 A	19931116

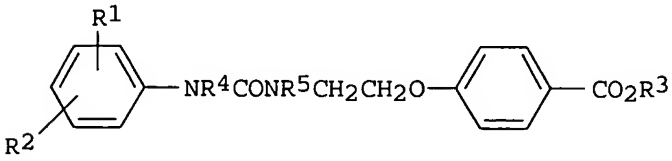
OTHER SOURCE(S): MARPAT 123:286023
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R1, R2 = H, halo, lower (halo)alkyl or (halo)alkoxy; or R1 and R2 are bonded together to form C1-3 alkylenedioxy; X = N, CH; the single bond with a dotted line represents a single bond or a double bond; A = heterocyclyl selected from Q - Q5; R3, R4 = H, lower alkyl; n =

1-4], having little side effects and useful as antidiabetics having activity for lowering both sugar and lipids in blood, are prepared Thus, a solution of benzaldehyde derivative Q6-CHO (R1 = CF3) (preparation given) 9.5, 2,4-thiazolidinone 3.8, and AcONa 4.3 g in 50 mL toluene was refluxed for 15 h and the solvent was removed by distillation to give, after treatment with 80% aqueous AcOH and filtration of precipitated crystals, 76% 5-benzylidene-2,4-thiazolidinone derivative (II; R = Q6, wherein R1 = CF3) which was hydrogenated over 5% Pd-C in 1,4-dioxane at 50° and H pressure 50 atm to give 80% 5-benzyl-2,4-thiazolidinone derivative (III; R = Q6, wherein R1 = CF3) (IV).. IV and III (R = Q6, wherein R1 = CF3O) at 2.5 mg/kg p.o. twice a day for 5 consecutive days lowered the blood sugar level by 41 and 53%, resp., in mice.

L13 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:408786 HCAPLUS
DOCUMENT NUMBER: 122:290463
TITLE: Preparation of N-phenyl-N'-(phenoxyethyl)ureas or imidazolidinones as hypolipemics
INVENTOR(S): Ogawa, Kazuo; Oono, Tomoyasu; Yamada, Haruo
PATENT ASSIGNEE(S): Taiho Pharmaceutical Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06345714	A2	19941220	JP 1993-140826	19930611 <--
PRIORITY APPLN. INFO.:			JP 1993-140826	19930611
OTHER SOURCE(S):	MARPAT 122:290463			

GI

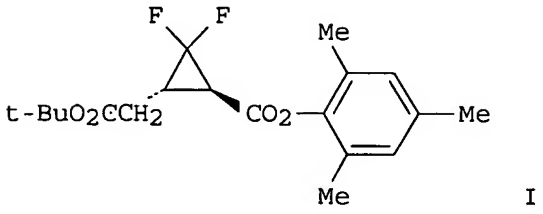


I

AB The title compds. I [R1-2 = H, lower (halo)alkyl, lower (halo)alkoxy, halo; R3 = H, lower alkyl; R4 = H and R5 = H, lower alkyl or R4R5 = CH2CH2] and their salts are claimed. I inhibit formation of fatty acids and cholesterol. 1-(4-Chlorophenyl)-2-imidazolidinone (preparation given) was treated with NaH in DMF at room temperature for 30 min and the reaction mixture was further treated with 4-ClCH2CH2OC6H4CO2Me (DMF/THF solution) under stirring at 0° for 30 min and at room temperature for 18 h to give I (R1 = R2 = H, R3 = Me, R4R5 = CH2CH2). IC50 values of this compound against formation of fatty acids and sterols by isolated rat liver cells were 8.55 and 9.62 μM, resp.

L13 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:242246 HCAPLUS
DOCUMENT NUMBER: 122:80736

TITLE: Regio- and stereoselective synthesis of gem-difluorocyclopropanes using 4-bromo-4,4-difluorocrotonate
AUTHOR(S): Taguchi, Takeo; Sasaki, Hirofumi; Shibuya, Akira; Morikawa, Tsutomu
CORPORATE SOURCE: Tokyo College Pharmacy, Tokyo, 192-03, Japan
SOURCE: Tetrahedron Letters (**1994**), 35(6), 913-16
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:80736
GI



I

AB Regio- and stereoselective formation of the functionalized gem-difluorocyclopropanes was achieved through the Michael addition of lithium enolates of esters or amides with 4-bromo-4,4-difluorocrotonate followed by the triethylborane-O2 mediated intramol. substitution reaction. Thus Michael addition of BrCF2CH:CHCO2C6H2Me3-2,4,6 with the lithium enolate of AcOBu-t followed by cyclization in the presence of Et3N, O2, and 1,3-dimethyl-2-imidazolidinone gave 71% gem-difluorocyclopropane I.

L13 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:234832 HCAPLUS
DOCUMENT NUMBER: 116:234832
TITLE: Effect of aggregation on the reactivity of dodecylammonium propionate in organic solvents. 1. Kinetic models for esterolysis reactions
AUTHOR(S): Valeur, Bernard; Monnier, Eric
CORPORATE SOURCE: Lab. Chim. Gen., Conservatoire Natl. Metiers, Paris, 75003, Fr.
SOURCE: Journal of Colloid and Interface Science (**1992**), 150(2), 473-85
CODEN: JCISA5; ISSN: 0021-9797
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Dodecylammonium propionate (DAP) is a surfactant that undergoes sequential self-association in organic solvents. Its reactivity as a nucleophilic agent depends on the aggregation state. The kinetics of esterolysis of three allophanic esters and p-nitrophenyl acetate were studied in benzene and 1,2-dichloroethane as a function of surfactant concentration After demonstrating by FTIR expts. that the monomeric form of DAP consists of dodecylamine and propionic acid, various kinetics models were developed. Excellent agreement of the exptl. data was found with a model in which two rate

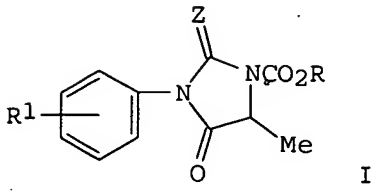
consts., kl (for the monomeric form) and ka (for a surfactant mol. in an aggregate, whatever the size of the aggregate), are considered. Anal. of the data also provides the equilibrium constant K of self-association The validity of the kinetic model is further supported by the fact that the value found for K in benzene is the same for the four esters and in agreement with the value reported in the literature (determined by vapor pressure osmometry). Furthermore, this agreement means that the self-association of a surfactant like DAP can be studied by chemical kinetics.

L13 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:594223 HCAPLUS
DOCUMENT NUMBER: 99:194223
TITLE: Base-catalyzed hydrolysis and decarboxylation of allophanic esters in a water-acetonitrile medium: bifunctional catalysis by a base-solvent entity
AUTHOR(S): Monnier, E.; Klaebe, A.; Perie, J. J.
CORPORATE SOURCE: Univ. Paul Sabatier, Toulouse, 31062, Fr.
SOURCE: Tetrahedron Letters (**1983**), 24(30), 3067-70
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: French
AB Base-catalyzed hydrolysis of allophanic esters in MeCN-H2O mixts. shows a sharp increase in rate constant in the range of 0.1-0.3 M H2O, with a maximum, which is interpreted as a balance between two desolvation terms, one concerning the nucleophile, the other the anionic transition state. At low H2O content (2 + 10-2 M), a fast hydrolysis (kexp .simeq. 0.5 s-1 at 20°) of allophanic esters is observed due to catalysis by the enolate of acetamide. This species also catalyzes the decarboxylation step, likely behaving as a bifunctional catalyst.

L13 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1981:30750 HCAPLUS
DOCUMENT NUMBER: 94:30750
TITLE: 1-(Alkoxycarbonyl)-3-phenyl-5-methylhydantoins
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55051068	A2	19800414	JP 1978-124331	19781009 <--
JP 60019731	B4	19850517		

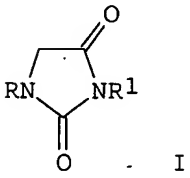
PRIORITY APPLN. INFO.: JP 1978-124331 A 19781009
OTHER SOURCE(S): CASREACT 94:30750
GI



I

AB Fourteen hydantoins I (R = Me, Et, Bu, n-hexyl, CH2Ph, Ph; R1 = H, 4-Cl, 3-F, 3,4-Cl2, etc.; Z = O, S), having antiinflammatory, analgesic, and muscle-relaxant activities, were prepared by acylation with ClCO2R. Thus, 0.1 mol DL-alanine and 0.1 mol NaOH in aqueous MeCN treated with 0.1 mol 3.4-dichlorophenyl isocyanate gave 90.9% N-(3,4-dichlorophenylcarbamoyl)-DL-alanine, which was refluxed with 5N HCl 5 h to give 98.7% 3-(3,4-dichlorophenyl)-5-methylhydantoin. This (15 mmol) was treated with 20 mmol ClCO2Et and Et3N in EtOAc to give 90.5% I (R = Et, R1 = 3,4-Cl2, Z = 0). Its optical isomers were prepared with D- or L-alanine instead of DL-alanine.

L13 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1979:22920 HCAPLUS
DOCUMENT NUMBER: 90:22920
TITLE: Novel syntheses of hydantoin derivatives
AUTHOR(S): Iwata, K.; Hara, S.
CORPORATE SOURCE: Cent. Res. Inst., Teijin Ltd., Hino, Japan
SOURCE: Journal of Heterocyclic Chemistry (**1978**), 15(7), 1231-4
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB N-substituted hydantoin derivs. I (R = H, Ph, Me, 3-, 4-HO2CC6H4; R1 = Ph, Bu, 4-ClC6H4, etc.) were prepared by the condensation of an α-amino acid derivative, a primary amine, and di-Ph carbonate.

L13 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1975:589326 HCAPLUS
DOCUMENT NUMBER: 83:189326
TITLE: Plant growth regulator containing imidazolidinetrionecarboxylic acid derivatives
INVENTOR(S): Baerlicher, Toni; Ebert, Edith
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Patentschrift (Switz.), 11 pp.
CODEN: SWXXAS
DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 563711	A	19750715	CH 1972-3131	19720303 <--
ES 401164	A1	19751116	ES 1971-401164	19710325 <--
US 3847940	A	19741112	US 1972-311276	19721201 <--

PRIORITY APPLN. INFO.:

CH 1971-4514	A	19710326
US 1971-164007	A3	19710719
CH 1971-11683	A	19710806
CH 1972-3131	A	19720303

GI For diagram(s), see printed CA Issue.

AB Imidazolidinetrionecarboxylic acid derivs. I (R1 = alkyl, alkoxycarbonyl, Ph, etc., R2 = alkyl, allyl, benzyl, halobenzyl, haloalkyl, etc.; Y = O or S) and 2,4,5-trioxoimidazolidine derivs. II (R1 = alkyl, halophenyl, etc.) are plant growth regulators. Thus, 0.2% 1-methyl-2,4,5-trioxoimidazolidine-3-carboxylic acid isobutyl ester [40407-00-9] decreased the force needed for plucking the orange fruit.

L13 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:4249 HCAPLUS
DOCUMENT NUMBER: 78:4249
TITLE: Fruit abscission regulating and plant senescence inhibiting 2,4,5-trioxoimidazolidine derivatives
INVENTOR(S): Baerlocher, Toni; Ebert, Edith
PATENT ASSIGNEE(S): Ciba-Geigy A.-G.
SOURCE: Ger. Offen., 49 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2214448	A	19721012	DE 1972-2214448	19720324 <--
DE 2214448	B2	19810521		
DE 2214448	C3	19820325		
CH 552596	A	19740815	CH 1971-4514	19710326 <--
CH 570381	A	19751215	CH 1971-11683	19710806 <--
IL 39042	A1	19760430	IL 1972-39042	19720320 <--
CA 987328	A1	19760413	CA 1972-137606	19720321 <--
IT 953546	A	19730810	IT 1972-22257	19720322 <--
AU 7240290	A1	19730927	AU 1972-40290	19720322 <--
BE 781182	A1	19720925	BE 1972-115515	19720324 <--
NL 7204027	A	19720928	NL 1972-4027	19720324 <--
FR 2131604	A5	19721110	FR 1972-10422	19720324 <--
ZA 7202013	A	19721227	ZA 1972-2013	19720324 <--
BR 7201757	A0	19730503	BR 1972-1757	19720324 <--
DD 102051	C	19731212	DD 1972-161793	19720324 <--
US 3818031	A	19740618	US 1972-237926	19720324 <--
GB 1373556	A	19741113	GB 1972-14083	19720324 <--
JP 56005201	B4	19810204	JP 1972-30208	19720325 <--
US 3847940	A	19741112	US 1972-311276	19721201 <--

PRIORITY APPLN. INFO.:

CH 1971-4514	A	19710326
CH 1971-11683	A	19710806
CH 1971-11653	A	19710506

US 1971-164007 A3 19710719

GI For diagram(s), see printed CA Issue.

AB Hundred and fifty-five title compds. (I. e.g. Q = O or S; R1 = H, alkyl, CH2CH2SEt, CH2CO2Et, CH2Ch:CH2, CH2C.tplbond.CH, cycloalkyl, Ph, tetrahydrofurfuryl; R2 = alkyl, CH2CH:CH2, CH2C.tplbond.CH, cyclohexyl, Ph, furfuryl) were prepared from II by successive reaction with Et3N and ClCo-QR2. I (Q = O) were also prepared by reaction of R1NHCONHCO2R2 (III) with ClCOCOCl and if R1 = H addnl. with R1βr (R1 = H). I inhibited the senescence of cut roses and Sinapis alba. The plucking strength of oranges from trees sprayed with 0.2-0.4% I solns. 7 days before the crop was <1.2-p.9 kg, as compared with 8.5 kg for untreated trees. Thus, ClCOCOCl was added to EtNHCONH2 at 10°, the mixture stirred 3 hr at room temperature and refluxed 3 hr to give II (R1 = Et) (IV). IV reacted successively with Et3N at 15 and with ClCO2CH2CHMe2 at 5-10° to give I (R1 = Et, R2 = CH2CHMe2) (V). H2NCO2CH2CHMe2 in PhMe and then EtNCO were added to NaH in PhMe at -10 to 0 and -10 to +10°, resp., to give III (R1 = Et, R2 = CH2CHMe2) (VI). VI and ClCOCOCl in CHCl3 were stirred 2 hr at room temperature and refluxed 1 hr to give V. Compds. containing I were reported.

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	65.13	607.11

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-15.75	-21.75

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